

IN THE CLAIMS

Please cancel claims 15 and 17 without prejudice to their presentation in a continuation or divisional application.

Please replace claim 1 with the correspondingly numbered claim:

1 (Amended). A compound of the formula:



or a pharmaceutically acceptable salt, ester, solvate or prodrug thereof, wherein:

$A_0$  is an acyl group selected from:

- (1)  $R-(CH_2)_n-C(O)-$ ; wherein  $n$  is an integer from 0 to 8 and  $R$  is selected from hydroxyl; methyl; N-acetylamino; methoxyl; carboxyl; cyclohexyl optionally containing a one or two double bonds and optionally substituted with one to three hydroxyl groups; and a 5- or 6-membered ring aromatic or nonaromatic ring optionally containing one or two heteroatoms selected from nitrogen, oxygen, and sulfur, wherein the ring is optionally substituted with a moiety selected from alkyl, alkoxy, and halogen; and
- (2)  $R^1-CH_2CH_2-(OCH_2CH_2O)_p-CH_2-C(O)-$ ; wherein  $R^1$  is selected from hydrogen, alkyl, and N-acetylamino, and  $p$  is an integer from 1 to 8;

$A_1$  is an amino acyl residue selected from:

- (1) alanyl,
- (2) asparaginylyl,
- (3) citrullyl,
- (4) glutaminylyl,
- (5) glutamyl,
- (6) N-ethylglycyl,
- (7) methionyl,
- (8) N-methylalanyl,

- (9) prolyl,  
(10) pyro-glutamyl,  
(11) sarcosyl,  
(12) seryl,  
(13) threonyl,  
(14)  $\text{-HN-(CH}_2\text{)}_q\text{-C(O)-}$ , wherein  $q$  is 1 to 8, and  
(15)  $\text{-HN-CH}_2\text{CH}_2\text{-(OCH}_2\text{CH}_2\text{O)}_r\text{-CH}_2\text{-C(O)-}$ , wherein  $r$  is 1 to 8;

$A_2$  is an amino acyl residue selected from:

- (1) alanyl,  
(2) asparaginyll,  
(3) aspartyl,  
(4) glutaminyll,  
(5) glutamyl,  
(6) leucyl,  
(7) methionyl,  
(8) phenylalanyl,  
(9) prolyl,  
(10) seryl,  
(11)  $\text{-HN-(CH}_2\text{)}_q\text{-C(O)-}$ , wherein  $q$  is 1 to 8,  
(12)  $\text{-HN-CH}_2\text{CH}_2\text{-(OCH}_2\text{CH}_2\text{O)}_r\text{-CH}_2\text{-C(O)-}$ , wherein  $r$  is 1 to 8, and  
(13) glycyl,

$A_3$  is an amino acyl residue selected from:

- (1) alanyl,  
(2) asparaginyll,  
(3) citrullyl,  
(4) cyclohexylalanyl,  
(5) cyclohexylglycyl,  
(6) glutaminyll,  
(7) glutamyl,  
(8) glycyl,  
(9) isoleucyl,  
(10) leucyl,  
(11) methionyl,  
(12) norvalyl,

- (13) phenylalanyl,  
(14) seryl,  
(15) *t*-butylglycyl,  
(16) threonyl,  
(17) valyl,  
(18) penicillaminy, and  
(19) cystyl;

A<sub>4</sub> is an amino acyl residue of L or D configuration selected from:

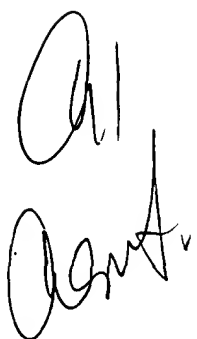
- (1) allo-isoleucyl,  
(2) glycyl,  
(3) isoleucyl,  
(4) prolyl,  
(5) dehydroleucyl,  
(6) D-alanyl,  
(7) D-3-(naphth-1-yl)alanyl,  
(8) D-3-(naphth-2-yl)alanyl,  
(9) D-(3-pyridyl)-alanyl,  
(10) D-2-aminobutyryl,  
(11) D-allo-isoleucyl,  
(12) D-allo-threonyl,  
(13) D-allylglycyl,  
(14) D-asparaginy, ~~(15) D-aspartyl,~~  
(16) D-benzothienyl,  
(17) D-3-(4,4'-biphenyl)alanyl,  
(18) D-chlorophenylalanyl,  
(19) D-3-(3-trifluoromethylphenyl)alanyl,  
(20) D-3-(3-cyanophenyl)alanyl,  
(21) D-3-(3,4-difluorophenyl)alanyl,  
(22) D-citrullyl,  
(23) D-cyclohexylalanyl,  
(24) D-cyclohexylglycyl,  
(25) D-cystyl,  
(26) D-cystyl(*S-t*-butyl),

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- (27) D-glutaminy,
  - (28) D-glutamyl,
  - (29) D-histidyl,
  - (30) D-homoisoleucyl,
  - (31) D-homophenylalanyl,
  - (32) D-homoseryl,
  - (33) D-isoleucyl,
  - (34) D-leucyl,
  - (35) D-lysyl(N-epsilon-nicotinyl),
  - (36) D-lysyl,
  - (37) D-methionyl,
  - (38) D-neopentylglycyl,
  - (39) D-norleucyl,
  - (40) D-norvalyl,
  - (41) D-ornithyl,
  - (42) D-penicillaminy,
  - (43) D-penicillaminy(acetamidomethyl),
  - (44) D-penicillaminy(*S*-benzyl),
  - (45) D-phenylalanyl,
  - (46) D-3-(4-aminophenyl)alanyl,
  - (47) D-3-(4-methylphenyl)alanyl,
  - (48) D-3-(4-nitrophenyl)alanyl,
  - (49) D-3-(3,4-dimethoxyphenyl)alanyl,
  - (50) D-3-(3,4,5-trifluorophenyl)alanyl,
  - (51) D-prolyl,
  - (52) D-seryl,
  - (53) D-seryl(*O*-benzyl),
  - (54) D-*t*-butylglycyl,
  - (55) D-thienylalanyl,
  - (56) D-threonyl,
  - (57) D-threonyl(*O*-benzyl),
  - (58) D-tryptyl,
  - (59) D-tyrosyl(*O*-benzyl),
  - (60) D-tyrosyl(*O*-ethyl),

(61) D-tyrosyl, and

(62) D-valyl;

A<sub>5</sub> is an amino acyl residue of L or D configuration selected from:

- 
- (1) alanyl,
  - (2) (3-pyridyl)alanyl,
  - (3) 3-(naphth-1-yl)alanyl,
  - (4) 3-(naphth-2-yl)alanyl,
  - (5) allo-threonyl,
  - (6) allylglycyl,
  - (7) glutaminy,
  - (8) glycyl,
  - (9) histidyl,
  - (10) homoseryl,
  - (11) isoleucyl,
  - (12) lysyl(N-epsilon-acetyl),
  - (13) methionyl,
  - (14) norvalyl,
  - (15) octylglycyl,
  - (16) ornithyl,
  - (17) 3-(4-hydroxymethylphenyl)alanyl,
  - (18) prolyl,
  - (19) seryl,
  - (20) threonyl,
  - (21) tryptyl,
  - (22) tyrosyl,
  - (23) D-allo-threonyl,
  - (24) D-homoseryl,
  - (25) D-seryl,
  - (26) D-threonyl,
  - (27) penicillaminy, and
  - (28) cystyl;

A<sub>6</sub> is an amino acyl residue of L or D configuration selected from:

- (1) alanyl,
- (2) 3-(naphth-1-yl)alanyl,

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- (3) 3-(naphth-2-yl)alanyl,
- (4) (3-pyridyl)alanyl,
- (5) 2-aminobutyryl,
- (6) allylglycyl,
- (7) arginyl,
- (8) asparaginyl,
- (9) aspartyl,
- (10) citrullyl,
- (11) cyclohexylalanyl,
- (12) glutaminyl,
- (13) glutamyl,
- (14) glycyl,
- (15) histidyl,
- (16) homoalanyl,
- (17) homoleucyl,
- (18) homoseryl,
- (19) isoleucyl,
- (20) leucyl,
- (21) lysyl(N-epsilon-acetyl),
- (22) lysyl(N-epsilon-isopropyl),
- (23) methionyl(sulfone),
- (24) methionyl(sulfoxide),
- (25) methionyl,
- (26) norleucyl,
- (27) norvalyl,
- (28) octylglycyl,
- (29) phenylalanyl,
- (30) 3-(4-carboxyamidophenyl)alanyl,
- (31) propargylglycyl,
- (32) seryl,
- (33) threonyl,
- (34) tryptyl,
- (35) tyrosyl,
- (36) valyl,

- (37) D-3-(naphth-1-yl)alanyl,  
(38) D-3-(naphth-2-yl)alanyl,  
(39) D-glutaminy,   
(40) D-homoseryl,  
(41) D-leucyl,  
(42) D-norvalyl,  
(43) D-seryl,  
(44) penicillaminy, and  
(45) cystyl;

A<sub>7</sub> is an amino acyl residue of L or D configuration selected from:

- (1) alanyl,  
(2) allylglycyl,  
(3) aspartyl,  
(4) citrullyl,  
(5) cyclohexylglycyl,  
(6) glutamyl,  
(7) glycyl,  
(8) homoseryl,  
(9) isoleucyl,  
(10) allo-isoleucyl  
(11) leucyl,  
(12) lysyl(N-epsilon-acetyl),  
(13) methionyl,  
(14) 3-(naphth-1-yl)alanyl,  
(15) 3-(naphth-2-yl)alanyl,  
(16) norvalyl,  
(17) phenylalanyl,  
(18) prolyl,  
(19) seryl,  
(20) *t*-butylglycyl,  
(21) tryptyl,  
(22) tyrosyl,  
(23) valyl,  
(24) D-allo-isoleucyl,

- (25) D-isoleucyl,  
(26) penicillaminy, and  
(27) cystyl;

A<sub>8</sub> is an amino acyl residue selected from:

- (1) 2-amino-4-[(2-amino)-pyrimidinyl]butanoyl,  
(2) alanyl(3-guanidino),  
(3) alanyl[3-pyrrolidinyl(2-N-amidino)],  
(4) alanyl[4-piperidinyl(N-amidino)],  
(5) arginyl,  
(6) arginyl(N<sup>G</sup>N<sup>G</sup> diethyl),  
(7) citrullyl,  
(8) 3-(cyclohexyl)alanyl(4-N-isopropyl),  
(9) glycyl[4-piperidinyl(N-amidino)],  
(10) histidyl,  
(11) homoarginyl,  
(12) lysyl,  
(13) lysyl(N-epsilon-isopropyl),  
(14) lysyl(N-epsilon-nicotinyl),  
(15) norarginyl,  
(16) ornithyl(N-delta-isopropyl),  
(17) ornithyl(N-delta-nicotinyl),  
(18) ornithyl[N-delta-(2-imidazolyl)],  
(19) [(4-amino(N-isopropyl)methyl)phenyl]alanyl,  
(20) 3-(4-guanidinophenyl)alanyl, and  
(21) 3-(4-amino-N-isopropylphenyl)alanyl;

A<sub>9</sub> is an amino acyl residue of L or D configuration selected from:

- (1) 2-amino-butyryl,  
(2) 2-amino-isobutyryl,  
(3) homopropyl,  
(4) hydroxypropyl,  
(5) isoleucyl,  
(6) leucyl,  
(7) phenylalanyl,  
(8) propyl,

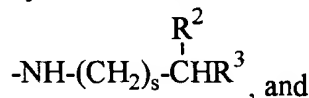


- (9) seryl,
- (10) *t*-butylglycyl,
- (11) 1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
- (12) threonyl,
- (13) valyl,
- (14) D-alanyl, and
- (15) D-prolyl; and

A<sub>10</sub> is a hydroxyl group or an amino acid amide is selected from:

azaglycylamide,  
D-alanylamine,  
D-alanylethylamide,  
glycylamide,  
glycylethylamide,  
sarcosylamide,  
serylamine,  
D-serylamine,

a group represented by the formula



a group represented by the formula  $-\text{NH}-\text{R}^4$ ;

wherein:

*s* is an integer selected from 0 to 8,

R<sup>2</sup> is selected from hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring;

R<sup>3</sup> is selected from hydrogen, hydroxy, alkyl, phenyl, alkoxy, and a 5- to 6-membered ring optionally containing from one to two heteroatoms selected from oxygen, nitrogen, and sulfur, provided that *s* is not zero when R<sup>3</sup> is hydroxy or alkoxy; and

R<sup>4</sup> is selected from hydrogen, hydroxy, and a 5- to 6-membered cycloalkyl ring.

Please add the following new claims:

18 (New). A compound, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, selected from the group consisting of

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, and

N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>.

19 (New). The compound, or pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, which is N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>.

20 (New). The compound, or pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, which is N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>.

21 (New). The compound, or pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, which is N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>.

22 (New). The compound, or pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, which is N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>.

23 (New). A composition comprising a compound, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, selected from the group consisting of

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, and

N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,

and a pharmaceutically acceptable carrier.

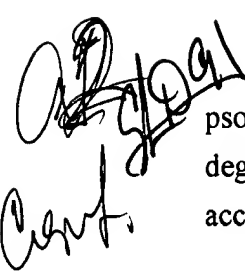
24 (New). A composition comprising N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

25 (New). A composition comprising N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

26 (New). A composition comprising

N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

27 (New). A composition comprising  
N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

 28 (New). A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration, and diabetic retinopathy comprising a compound, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, selected from the group consisting of  
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,  
N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,  
N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, and  
N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>,  
and a pharmaceutically acceptable carrier.

29 (New). A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration, and diabetic retinopathy comprising  
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

30 (New). A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration, and diabetic retinopathy comprising  
N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH<sub>2</sub>CH<sub>3</sub>, or a pharmaceutically acceptable salt, ester, solvate, or prodrug thereof, and a pharmaceutically acceptable carrier.

31 (New). A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration, and diabetic retinopathy comprising